Access DB# 96898

SEARCH REQUEST FORM

Scientific and Technical Information Center

•	DAVID	LUKTON	71162	06-18-03
Requester's Fu	ull Name:	_	Examiner # : 7/263	Date:
Art Unit: 16		Number 30 <u>8 · 32</u> 1	Serial Number:	09-276466
	Bldg/Room Location		sults Format Preferred (circ	le): RAPÈR DISK E-MAIL
If more than	Box; 9BOI;	FXr Rm; 4800	tize searches in order of	need
***	Title: Glycopeptic		nze searches in order or	need.
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Inch	Applicants: Christ	ensen, Burton G.; Ju	dice, J. Kevin; Mu, YongQ)i
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	X3 = hydro	gen or chlorine		Point of Contact
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Other (specify)

PTO-1590 (8-01)

Online Time:

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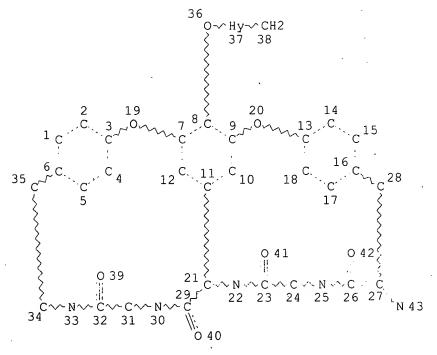
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FILE COVERS 1907 - 18 Jun 2003 VOL 138 ISS 25 FILE LAST UPDATED: 17 Jun 2003 (20030617/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

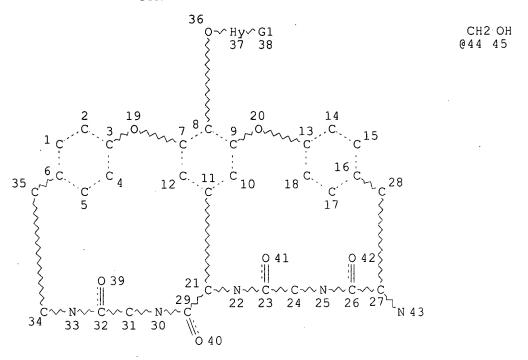
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NODE ATTRIBUTES:
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DEFAULT ECLEVEL IS LIMITED

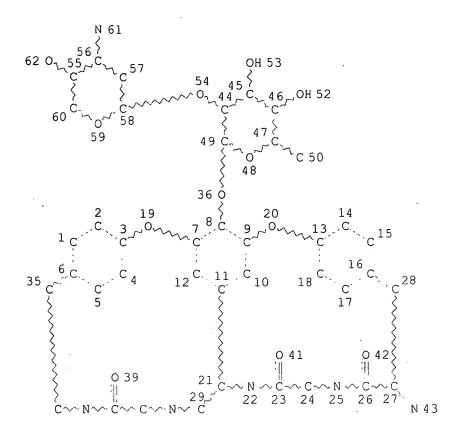
GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 43 STEREO ATTRIBUTES: NONE L3 STR



VAR G1=CH3/44 NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 45

STEREO ATTRIBUTES: NONE L7 STR



Page 1-A

Page 2-A NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED .

GRAPH ATTRIBUTES:

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NUMBER OF NODES IS 59

STEREO ATTRIBUTES: NONE L10 2863 SEA FILE=REGISTRY SSS FUL L1

L11 308 SEA FILE=REGISTRY SUB=L10 SSS FUL L7 NOT L3

L12 9 SEA FILE=HCAPLUS ABB=ON PLU=ON L11

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L12 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2003 ACS-ACCESSION NUMBER: 2002:585482 HCAPLUS

DOCUMENT NUMBER: 138:180210

TITLE: Structural requirements for VanA activity of

vancomycin analogues

AUTHOR(S): Chen, Zhong; Eggert, Ulrike S.; Dong, Steven D.; Shaw,

Lukton 09 776466 Simon J.; Sun, Binyuan; LaTour, John V.; Kahne, Daniel Department of Chemistry, Princeton University, CORPORATE SOURCE: Princeton, NJ, 08544, USA SOURCE: Tetrahedron (2002), 58(32), 6585-6594 CODEN: TETRAB; ISSN: 0040-4020 PUBLISHER: Elsevier Science Ltd. DOCUMENT TYPE: Journal LANGUAGE: English We have prepd. several sets of glycopeptide analogs in order to probe the mol. basis for the activity of derivs. that overcome vanA resistance. results described in this paper provide compelling evidence that good vanA activity is due to a mechanism of action that does not involve peptide binding. Hypothesizing that this mechanism of action involves an interaction of the disaccharide portion of vancomycin analogs with bacterial transglycosylases, we have prepd. a compd. in which the vancomycin aglycon is coupled to a known transglycosylase inhibitor that is structurally unrelated to the disaccharides that have been previously investigated. The activity of this compd. is excellent. This work provides a clear prescription for the design of better glycopeptide analogs. IT 256350-01-3 322012-74-8 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (prepn. and structure-activity relationship of vancomycin analogs and antibacterial resistance) REFERENCE COUNT: THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS 18 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L12 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2003 ACS 2002:175755 HCAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 137:345587 Antibacterial activity of G6-quaternary ammonium TITLE: derivatives of a lipophilic vancomycin analogue Blizzard, Timothy A.; Kim, Ronald M.; Morgan, Jerry AUTHOR(S): D.; Chang, Jiang; Kohler, Joyce; Kilburn, Ruth; Chapman, Kevin; Hammond, Milton L. Merck Research Laboratories, Rahway, NJ, 07065, USA CORPORATE SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), SOURCE: 12(6), 849-852 CODEN: BMCLE8; ISSN: 0960-894X Elsevier Science Ltd. PUBLISHER: Journal DOCUMENT TYPE: LANGUAGE: English A series of G6-amino derivs. of a lipophilic vancomycin analog was prepd. Antibacterial activity of the analogs was inversely proportional to the degree of substitution of the G6-nitrogen. The fully substituted (quaternary) analogs were essentially inactive against vanA phenotype VREF strains but retained substantial activity against other bacteria, a profile reminiscent of teicoplanin. 308366-82-7P 474433-05-1P 474433-06-2P ΙT

474433-07-3P 474433-08-4P 474433-09-5P

RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(structure-activity relationship studies and antibacterial activity of G6-quaternary ammonium derivs. of a lipophilic vancomycin analog)

IT

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(structure-activity relationship studies and antibacterial activity of G6-quaternary ammonium derivs. of a lipophilic vancomycin analog)

256351-48-1

RL: RCT (Reactant); RACT (Reactant or reagent) (structure-activity relationship studies and antibacterial activity of G6-quaternary ammonium derivs. of a lipophilic vancomycin analog) IT 474432-98-9P 474432-99-0P 474433-00-6P 474433-01-7P 474433-02-8P 474433-03-9P 474433-04-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(structure-activity relationship studies and antibacterial activity of G6-quaternary ammonium derivs. of a lipophilic vancomycin analog) THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 21

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2003 ACS 2001:798249 HCAPLUS ACCESSION NUMBER:

135:331682 DOCUMENT NUMBER:

TITLE: Preparation of glycopeptide vancomycin analogs as

antibacterial agents

INVENTOR(S): Kim, Ronald M.; Tata, James R.; Chapman, Kevin

PATENT ASSIGNEE(S): Merck + Co., Inc., USA PCT Int. Appl., 80 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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APPLICATION NO.
                                                             DATE
                     KIND DATE
     PATENT NO.
     ----- ---- ----
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    WO 2001081373 A2
                             20011101
                                            WO 2001-US13042 20010424
                             20020523
     WO 2001081373
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             CO, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU,
             LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
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         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                      A1 20020418
                                           US 2001-840927 20010425
     US 2002045574
                                         US 2000-199359P P 20000425
PRIORITY APPLN. INFO.:
                         MARPAT 135:331682
OTHER SOURCE(S):
GT
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- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- Glycopeptide Vancomycin analogs I wherein Rl is XR; X is absent or XR is AB NH=NRR, SO2R, So2NRR, CO2R, CONRR, COR, R2 is NRR, SR, NHC(=NH)NRR, OR; R3 is CONRR, CO2R, when R is independently H, substituted alkyl, aryl, heteroaryl, in which the vancosamine residue is substituted on the vancosamine nitrogen with aryl substituents such as dichlorobenzyoxybenzyl, on the C6 position with a polar substituent such as amino or substituted amino, and provided with functionality at the carboxyl such as amido derivs., have improved activity against bacterial infection. Thus, I (R1 = 3,4-dichlorobenzyloxybenzyl, R2 = NH2, R3 = hydroxyethoxyethylamido) was prepd. and tested in vitro for its antibacterial activity (MIC = 0.5 to .gtoreq. 500 .mu.g/mL).
- 370564-25-3P 370568-71-1P 370568-77-7P 370568-78-8P 370568-79-9P 370568-80-2P

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370568-82-4P 370568-83-5P 370568-84-6P
     370568-85-7P 370568-86-8P 370568-88-0P
     370568-89-1P 370568-90-4P 370568-91-5P
     370568-92-6P 370568-97-1P 370568-98-2P
     370569-02-1P 370569-06-5P 370569-07-6P
     370569-08-7P 370569-10-1P
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     study, unclassified); IMF (Industrial manufacture); SPN (Synthetic
    preparation); THU (Therapeutic use); BIOL (Biological study); PREP'
     (Preparation); USES (Uses)
        (prepn. of glycopeptide vancomycin analogs as antibacterial agents)
ΙT
    256350-27-3P 308366-56-5P 308367-46-6P
     308367-48-8P 370568-70-0P 370568-72-2P
     370568-73-3P 370568-74-4P 370568-75-5P
     370568-76-6P 370568-81-3P 370568-87-9P
     370568-93-7P 370568-94-8P 370568-95-9P
     370568-96-0P 370568-99-3P 370569-00-9P
     370569-01-0P 370569-05-4P
    RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic
    preparation); PREP (Preparation); RACT (Reactant or reagent)
        (prepn. of glycopeptide vancomycin analogs as antibacterial agents)
IT
    256350-62-6 370569-03-2
    RL: RCT (Reactant); RACT (Reactant or reagent)
        (prepn. of glycopeptide vancomycin analogs as antibacterial agents)
L12 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2003 ACS
                   2001:798248 HCAPLUS
ACCESSION NUMBER:
                        135:331681
DOCUMENT NUMBER:
                        Preparation of glycopeptide vancomycin analogs as
TITLE:
                        antibacterial agents
                        Kahne, Daniel; Walker, Suzanne
INVENTOR(S):
                        Trustees of Princeton University, USA
PATENT ASSIGNEE(S):
                        PCT Int. Appl., 68 pp.
SOURCE:
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
                        English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
                       1
PATENT INFORMATION:
                                        APPLICATION NO. DATE
    PATENT NO.
                    KIND DATE
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                                         _____
                  A2
                                         WO 2001-US11040 20010405
    WO 2001081372
                           20011101
                           20020516
    WO 2001081372
                    А3
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            CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
            HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
            LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
            RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN,
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            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
            BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    US 2002042365
                    · A1
                           20020411
                                         US 2001-818787 20010328
PRIORITY APPLN. INFO.:
                                       US 2000-199382P P 20000425
                                       US 2001-818787 A 20010328
OTHER SOURCE(S):
                      MARPAT 135:331681
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GI

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

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AΒ
     Antibacterial glycopeptide vancomycin analogs I bearing optional
     modifications to the C6 position of the glucose residue attached to the
     amino acid four of the vancomycin heptapeptide chain, wherein X is O, S,
     substituted amine; R is H, substituted alkyl, aryl, aralkyl, alkanoyl,
     aroyl, aralkanoyl, heterocyclic, heterocyclic-carbonyl,
     heterocyclic-alkyl-carbonyl, alkylsulfonyl, arylsulfonyl, aminoalkyl; R1 .
     and R2 are independently substituted alkyl, aryl, aralkyl, alkanoyl,
     aroyl, aralkanoyl, heterocyclic, heterocyclic-carbonyl,
     heterocyclic-alkyl-carbonyl, alkylsulfonyl, arylsulfonyl; substituted
     alkyl, acyl, CHO; Z is N3, functionalized O, N, S atoms; are disclosed.
     Methods of making the compds. and methods of using the compds. to treat a
     bacterial infection in a host are also disclosed. Thus, I [ X = NH, Z =
     OH, R = CH2CH2CH2CH2NH2, R1 = H, R2 = 4-[(3, 4-
     dichlorophenyl)methoxy]benzyl] was prepd. and tested in vitro for their
     antibacterial activity (MIC = 0.25-6.25 \cdot mu.g/mL).
     370564-24-2P 370564-26-4P 370564-29-7P
ΙT
     370564-30-0P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); IMF (Industrial manufacture); RCT (Reactant); SPN
     (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
     PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
        (prepn. of glycopeptide vancomycin analogs as antibacterial agents)
ΙT
     370564-25-3P 370564-27-5P
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     study, unclassified); IMF (Industrial manufacture); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (prepn. of glycopeptide vancomycin analogs as antibacterial agents)
IT
     370564-33-3
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
        (prepn. of glycopeptide vancomycin analogs as antibacterial agents)
TT
     256349-88-9P 256350-16-0P 256350-17-1P
     256350-66-0P 370564-22-0P 370564-23-1P
     RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic
     preparation); PREP (Preparation); RACT (Reactant or reagent)
        (prepn. of glycopeptide vancomycin analogs as antibacterial agents)
L12 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER:
                         2000:844506 HCAPLUS
DOCUMENT NUMBER:
                         134:144404
TITLE:
                         The role of hydrophobic substituents in the biological
                         activity of glycopeptide antibiotics
AUTHOR(S):
                         Kerns, Robert; Dong, Steven D.; Fukuzawa, Seketsu;
                         Carbeck, Jeffrey; Kohler, Joyce; Silver, Lynn; Kahne,
                         Daniel
CORPORATE SOURCE:
                         Departments of Chemistry and Chemical Engineering,
                         Princeton University, Princeton, NJ, 08544, USA
                         Journal of the American Chemical Society (2000),
SOURCE:
                         122(50), 12608-12609
                         CODEN: JACSAT; ISSN: 0002-7863
                         American Chemical Society
PUBLISHER:
DOCUMENT TYPE:
                         Journal
LANGUAGE:
                         English
    Vancomycin and teicoplanin are the two glycopeptide antibiotics that are
     used clin. Bacteria become resistant to vancomycin and teicoplanin by
     producing cell wall precursors terminating in d-Ala-d-Lac , a depsipeptide
     ligand that interacts only weakly with peptide binding pockets of the
     drugs. The emergence of such antibiotic resistance poses a serious threat
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to human health. However, it is possible to overcome resistance by attaching a hydrophobic substituent to vancosamine nitrogen of vancomycin. The authors report the synthesis and evaluation of a new class of

Lukton 09_776466

vancomycin derivs contg. hydrophobic substituents on the glucose C6 position. The position of hydrophobic substituent influences the mechanism of action. The authors uncovered differences in the mechanism of action of hydrophobically substituted glycopeptide derivs by comparing the biol activities of pares of compds. contg. intact and damaged peptide binding pockets. These compds. have an addnl. biol activity that cannot simply be due to membrane localization. Identifying the source of this activity should lead to a more rational approach to the design of vancomycin derivs that overcome resistance.

256350-01-3P 256350-03-5P 322012-74-8P IT

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(role of hydrophobic substituents in biol. activity of glycopeptide antibiotics)

REFERENCE COUNT:

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS 13 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

2000:824286 HCAPLUS

DOCUMENT NUMBER:

134:5162

TITLE: INVENTOR(S): Preparation of glycopeptides as antibacterial agents Kim, Ronald M.; Kahne, Daniel E.; Chapman, Kevin T.

PATENT ASSIGNEE(S):

Merck & Co., Inc., USA; Princeton University

PCT Int. Appl., 89 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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WO	2000	0698:	93	A.	1	2000	1123		W	D 201	00-U	S137!	51	2000	0519		
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		CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,
														LT,			
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		AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM								
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		CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG			
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PRIORIT	Y APP	LN.	INFO	. :				ı	JS 1	999-	1348	41P	Ρ	1999	0519		
OTHER S	OURCE	(S):			MAR	PAT	134:	5162									
GI										•							

Ι

AΒ Glycopeptides I [R is a polar substituent; K-Arl-Z-Ar2 is a lipid-like substituent where Arl and Ar2 are arom. or heterocyclic groups, each optionally substituted with R1 [R1 = halo, R2, CN, NO2, CF3, fluoromethoxy, NHSO2R2, OR2, SR2, NR22, N+R23, C(O)NR22, SO2NR22, heterocyclyl, CO2R2, C(O)R2, OC(O)R2, NR2C(O)R2, or NHC(O)R2; R2 = H, aryl, alkyl, arylalkyl, (heterocyclyl)alkyl, aroyl, alkanoyl, alkanoyloxy, alkanoylamino, alkylsulfonyl, arylsulfonyl; two R2 groups may form one or more arom. or heterocyclic rings]; K and Z are carbonyl, sulfonyl, alkylene, alkyleneoxy, oxyalkylene, alkyleneamino, aminoalkylene, alkyleneoxyalkylene, alkylenethio, thioalkylene, alkylenecarbonyl, aminocarbonyl or carbonylamino, alkyleneaminocarbonyl, aminocarbonylalkylene, O, O2C, CO2, alkylene, alkyleneoxycarbonyl, oxycarbonylalkylene, aminosulfonyl or sulfonylamino; Z is not a singe bond] were prepd. as antibacterial agents. Thus, N-[4-(3,4dichlorobenzyloxy)benzyl]-N-glucose-C6-amino-vancomycin, prepd. from vancomycin hydrochloride by a multistep sequence involving condensation with 4-(3,4-dichlorobenzyloxy)benzaldehyde, showed MIC = $0.125 \, .mu.g/mL$ against Staphylococcus aureus Septicemia (in vivo).

ΙT 256350-68-2P 308366-45-2P 308366-46-3P 308366-47-4P 308366-48-5P 308366-49-6P 308366-50-9P 308366-51-0P 308366-52-1P 308366-53-2P 308366-54-3P 308366-55-4P 308366-56-5P 308366-57-6P 308366-58-7P 308366-59-8P 308366-60-1P 308366-61-2P 308366-62-3P 308366-63-4P 308366-64-5P 308366-65-6P 308366-66-7P 308366-67-8P 308366-68-9P 308366-69-0P 308366-70-3P 308366-71-4P 308366-72-5P 308366-73-6P 308366-74-7P 308366-75-8P 308366-76-9P 308366-77-0P 308366-78-1P 308366-79-2P 308366-80-5P 308366-81-6P 308366-82-7P 308366-83-8P 308366-84-9P 308366-85-0P 308366-86-1P 308366-87-2P 308366-88-3P 308366-89-4P 308366-90-7P 308366-91-8P 308366-92-9P 308366-93-0P 308366-94-1P

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     308367-44-4P 308367-45-5P 308797-97-9P
     308797-98-0P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of vancomycin analogs as antibacterial agents)
     256349-88-9P 256350-62-6P 256351-48-1P
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     308367-50-2P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. of vancomycin analogs as antibacterial agents)
                              THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
REFERENCE COUNT:
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                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L12 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2003 ACS
                    2000:725485 HCAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                        133:296658
                        Preparation of desleucyl glycopeptide antibiotics
TITLE:
INVENTOR(S):
                        Kahne, Daniel; Walker, Suzanne; Silva, Domingos J.
                        The Trustees of Princeton University, USA; Incara
PATENT ASSIGNEE(S):
                        Pharmaceuticals, Inc.
                        PCT Int. Appl., 150 pp.
SOURCE:
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                        English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                                         APPLICATION NO.
     PATENT NO.
                    KIND DATE
                                                           DATE ·
                                          _____
                                         WO 2000-US8559 20000331
    WO 2000059528
                     A1
                           20001012
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            CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
            ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
            LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
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        RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
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                          20020123
                                          EP 2000-919942
                                                           20000331
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                          20030211
                                          US 2000-540761
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PRIORITY APPLN. INFO.:
                                       US 1999-127516P P 19990402
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IT

WO 2000-US8559

W 20000331

Lukton 09 776466

Compds. that are analogs of glycopeptide antibiotics are disclosed. AB compds. have the formula A1-A2-A3-A4-A5-A6-A7, where each of the groups A2 to A7 is a modified or unmodified .alpha.-amino acid residue, A1 is optional and, when present, is an org. group other than N-substituted leucine, and at least one of the groups Al to A7 is linked via a glycosidic bond to one or more glycosidic groups each having one or more sugar residues, where at least one of said sugar residues is modified to bear at least one hydrophobic substituent. Methods of making these compds., compns. including these compds., and methods of using the compds. to treat infections in a host are also disclosed. Antibacterial test data are tabulated for > 350 compds. of the invention.

IT 256350-62-6P 256350-68-2P 256351-39-0P 300583-15-7P

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, 'unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of desleucyl glycopeptide antibiotics)

REFERENCE COUNT: THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:493569 HCAPLUS

DOCUMENT NUMBER:

133:105257

TITLE:

Preparation of substituted alpha-linked disaccharides

as antibacterial agents

INVENTOR(S):

Kahne, Daniel

PATENT ASSIGNEE(S):

Princeton University, USA

SOURCE:

PCT Int. Appl., 103 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P	ATENT	NO.		KI	ND	DATE			. A	PPLI	CATI	N NC	Ö.	DATE			
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El	P 1147	129		А	1 .	2001	1024		Ε	P 20	00-9	0428.	5	20000	0112		
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		ΙE,	SI,	LT,	LV,	FI,	RO										
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								1	WO 2	000-	JS65	1	W	20000	0112		
OTHER S	SOURCE	(S):			MAR	PAT	133:	1052	57								

OTHER SOURCE(S):

GI

A compd. which comprises: (i) a saccharide compd. having transglycosylase AB inhibitory activity; and (ii) a second compd. that is capable of binding a protein or enzyme involved in cell wall biosynthesis, a precursor used in cell wall biosynthesis, the cell wall surface, or combinations thereof. The saccharide compd. is linked directly or through a difunctional linker, to the non-saccharide compd.; provided that: when the non-saccharide compd. is a hexapeptide or a heptapeptide and the saccharide compd. does not contain a phosphate or phosphonate ester, then the saccharide compd. is not linked directly to the non-saccharide compd. through a glycosidic linkage. The non-saccharide compd. includes both "natural" (aglycons that are typically assocd. with a carbohydrate moiety) and "unnatural" (substances that are not typically assocd. with carbohydrate moiety) aglycons. Unnatural aglycons can be selected, for example, from peptide-binding dyes. Disaccharides I wherein R2Y2Y1 is bonded to a ring carbon atom adjacent to the alpha glycosidic linkage; R1 and R3 are independently hydrogen, alkyl, aryl, aralkyl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, alkanoyl, aroyl, aralkanoyl, heterocyclic, heterocyclic-alkyl, heterocyclic-carbonyl or heterocyclic-alkyl- carbonyl; R2 is hydrogen, alkyl, aryl, aralkyl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, alkanoyl, aroyl, aralkanoyl, heterocyclic, heterocyclic-alkyl, heterocyclic-carbonyl, heterocyclic-alkyl-carbonyl or a peptide comprising 2-6 amino acid residues; R4-R7 are independently hydrogen, or a hydroxyl, amino or thiol protecting group; W1-W4 are independently O, NH or S; R8 is hydrogen, hydroxyl or a hydroxyl protecting group; k, m, n, p and r are independently 0 or 1; X1 is a single bond, O, NR9 or S; X2 is O, NR12, S, C(O)O, C(O)S, C(S)O, C(S)S, C(NR12)O or C(O)NR12; Y1 is a single bond, O, NR10 or S; Y2 is O, NR13, S, C(0)0, C(0)S, C(S)0, C(S)S, C(NR13)0 or C(0)NR13; Z1 is a single bond, O, NR11 or S; Z2 is O, NR14, S, C(O)O, C(O)S, C(S)O, C(S)S, C(NR14)O or $\mathbb{C}\left(0\right)$ NR14; R9-R14 are independently hydrogen, alkyl or aralkyl; none of the pairs X1 and X2, Y1 and Y2, and Z1 and Z2 comprises O and O, S and O, or O and S, resp. A method of treating bacterial infections with the compd. Thus, disaccharide II (Ar = 2,6-dimethoxyphenoxy, Ar1 = chlorobiphenyl) was prepd. and tested in vitro for its antibacterial activity and selectively inhibited peptidoglycan and RNA synthesis.

IT 256349-90-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of substituted alpha-linked disaccharides as antibacterial agents and inhibitors of peptidoglycan and RNA synthesis)

IT 256351-35-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of substituted alpha-linked disaccharides as antibacterial
 agents and inhibitors of peptidoglycan and RNA synthesis)
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L12 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER:
                               2000:68479 HCAPLUS
DOCUMENT NUMBER:
                               132:122934
TITLE:
                               Preparation of glycopeptide antibiotics and their
                               combinatorial libraries
INVENTOR(S):
                               Kahne, Daniel; Kerns, Robert; Fukuzawa, Seketsu; Ge,
                               Min; Thompson, Christopher
PATENT ASSIGNEE(S):
                               Princeton University, USA
SOURCE:
                               PCT Int. Appl., 159 pp.
                               CODEN: PIXXD2
DOCUMENT TYPE:
                               Patent
                               English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                         DATE
      PATENT NO.
                           KIND DATE
                                                     APPLICATION NO.
                                                     _____
                                  20000127
                                                   WO 1999-US15845 19990714
      WO 2000004044
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      CA 2337103
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                                                                          19990714
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      JP 2002520422
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                                                    WO 2000-US13679 20000519
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                                 20020213
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      EP 1179011
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                IE, SI, LT, LV, FI, RO
                                                 US 1998-150690P P 19980714
PRIORITY APPLN. INFO.:
                                                 US 1999-134839P P
                                                                          19990519
                                                 WO 1999-US15845 W 19990714
                                                 WO 2000-US13679 W 20000519
                               CASREACT 132:122934
OTHER SOURCE(S):
      Glycopeptides A1-A2-A3-A4-A5-A6-A7 [Al comprises a modified or unmodified
      .alpha.-amino acid residue, alkyl, aryl, aralkyl, alkanoyl, aroyl,
      aralkanoyl, heterocyclyl, heterocyclylcarbonyl, heterocyclylalkyl,
      heterocyclylalkylcarbonyl, alkylsulfonyl, arylsulfonyl, guanidinyl,
      carbamoyl, or xanthyl; each of A2 to A7 comprises a modified or unmodified
      .alpha.-amino acid residue, where (i) Al is linked to an amino group on
      A2, (ii) each of A2, A4 and A6 bears an arom. side chain which is
      cross-linked by two or more covalent bonds, and (iii) A7 bears a terminal
      carboxyl, ester, amide, or N-substituted amide group; one or more of Al to
      A7 is linked via a glycosidic bond to one or more glycosidic groups each
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having one or more sugar residues, at least one of the sugar residues

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bearing one or more substituents of the formula YXR, N+R1:CR2R3,
N:PR1R2R3, N+R1R2R3 or P+R1R2R3 in which Y is a single bond, O, NR1 or S;
X is O, NR1, S, SO2, C(O)O, C(O)S, C(S)O, C(S)S, C(NR1)O, C(O)NR1, or halo
(in which case Y and R are absent); R, R1, R2, and R3 are H, alkyl, aryl,
aralkyl, alkanoyl, aroyl, aralkanoyl, heterocyclyl, heterocyclylcarbonyl,
heterocyclylalkyl, heterocyclylalkylcarbonyl, alkylsulfonyl, or
arylsulfonyl] and their pharmaceutically acceptable salts or a chem.
library comprising a plurality of the glycopeptides of the invention were
prepd. for use as antibiotics. Thus, glucose-C6 modified vancomycin
derivs. were prepd. and assayed for antimicrobial activity (min.
inhibitory concns. are tabulated).
256350-01-3P 256350-02-4P 256350-03-5P
256350-04-6P 256350-05-7P 256350-06-8P
256350-07-9P 256350-08-0P 256350-09-1P
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256350-91-1P 256350-93-3P 256351-39-0P
256351-49-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
(Reactant or reagent); USES (Uses)
   (prepn. of glycopeptide antibiotics and their combinatorial libraries)
256350-17-1P 256350-21-7P 256350-25-1P
256350-50-2P 256350-68-2P 256350-74-0P
256350-84-2P 256350-86-4P 256350-88-6P
256350-90-0P 256351-37-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
   (prepn. of glycopeptide antibiotics and their combinatorial libraries)
256351-06-1 256351-08-3 256351-40-3
256351-41-4
RL: RCT (Reactant); RACT (Reactant or reagent)
   (prepn. of glycopeptide antibiotics and their combinatorial libraries)
256349-88-9P 256349-89-0P 256349-90-3P
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256351-35-6P 256351-36-7P 256351-38-9P
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
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Lukton 09 776466

(prepn. of glycopeptide antibiotics and their combinatorial libraries)
REFERENCE COUNT:
8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> fil caold FILE 'CAOLD' ENTERED AT 18:11:46 ON 18 JUN 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

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=> fil reg FILE 'REGISTRY' ENTERED AT 18:11:58 ON 18 JUN 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 17 JUN 2003 HIGHEST RN 532924-24-6 DICTIONARY FILE UPDATES: 17 JUN 2003 HIGHEST RN 532924-24-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting ${\tt SmartSELECT}$ searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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59			RN			370564-		REGISTRY
60			RN			370564-		REGISTRY
61			RN			370564-		REGISTRY
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62	RN	370564-23-1	REGISTRY
63	RN	370564-22-0	REGISTRY
64	RN	322012-74-8	REGISTRY
65	RN	308797-98-0	REGISTRY
66	RN	308797-97-9	REGISTRY
67	RN	308367-50-2	REGISTRY
68	RN	308367-49-9	REGISTRY
69	RN	308367-48-8	REGISTRY
70	RN	308367-47-7	REGISTRY
71	RN	308367-46-6	REGISTRY
72	RN	308367-45-5	REGISTRY
73			
	RN	308367-44-4	REGISTRY
74	RN .	308367-43-3	REGISTRY
75	RN ·	308367-42-2	REGISTRY
76	RN	308367-41-1	REGISTRY
77		· ·	
	RN	308367-40-0	REGISTRY
78	RN	308367-39-7	REGISTRY
79	RN ·	308367-38-6	REGISTRY
80	RN	308367-37-5	REGISTRY
81		308367-36-4	REGISTRY
	RN		
82	RN	308367-35-3	REGISTRY
83	RN	308367-34-2	REGISTRY
84	RN	308367-33-1	REGISTRY
85	RN	308367-31-9	REGISTRY
86	RN	308367-30-8	REGISTRY
87	RN	308367-29-5	REGISTRY
88	RN	308367-28-4	REGISTRY
89		308367-27-3	
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90	RN	308367-26-2	REGISTRY
91	RN	308367-25-1	REGISTRY
92	RN	308367-24-0	REGISTRY
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94	RN	308367-22-8	REGISTRY
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98	RN	308367-18-2	REGISTRY
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101	RN	308367-15-9	REGISTRY
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103	RN	308367-13-7	REGISTRY
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105	RN	308367-11-5	REGISTRY
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156	RN	30836.6-60-1	REGISTRY
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171	RN	308366-45-2	REGISTRY
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185	RN	256351-17-4	REGISTRY
186	RN	256351-16-3	REGISTRY
187	RN	256351-13-0	REGISTRY
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188	RN	256351-12-9	REGISTRY
189	RN	256351 - 11-8	REGISTRY
190	RN	256351-10-7	REGISTRY
191	RN	256351-09-4	REGISTRY
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196	RN	256351-02-7	REGISTRY
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198	RN	256351-00-5	REGISTRY
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210	RN	256350-87 - 5	REGISTRY
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221	RN	256350-76-2	REGISTRY
222	RN	256350-75-1	REGISTRY
223	RN	256350-74-0	REGISTRY
224	ŔN	256350-73 - 9	REGISTRY
225	RN	256350-72-8	REGISTRY
226	RN	256350-71-7	REGISTRY
227	RN	256350-70 - 6	REGISTRY
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230	RN	256350-67-1	REGISTRY
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232	RN	256350-65-9	REGISTRY
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252	RN	256350-45-5	REGISTRY
253	RN	256350-44-4	REGISTRY
254	RN	256350-43-3	REGISTRY
255	RN	256350-42-2	REGISTRY
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257	RN	256350-40-0	REGISTRY
258	RN	256350-39-7	REGISTRY
259	RN	256350-38-6	REGISTRY
260	RN	256350-37 - 5	REGISTRY
261	RN	256350-36 - 4	REGISTRY
262	RN	256350 - 35-3	REGISTRY.
263	RN	256350-34-2	REGISTRY
264	RN	256350-33-1	REGISTRY
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266	RN	256350-31-9	REGISTRY
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269	RN	256350-28-4	REGISTRY
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273	RN	. 256350-24-0	REGISTRY
274	RN	256350-23-9	REGISTRY
275	RN	256350-22-8 256350-21-7	REGISTRY
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279 280	RN RN	256350-18-2	REGISTRY
281	RN	256350-17-1	REGISTRY
282	RN	256350-15-9	REGISTRY
283	RN	256350-14-8	REGISTRY
284	RN	256350-12-6	REGISTRY
285	RN	256350-11-5	REGISTRY
286	RN	256350-10-4	REGISTRY
287	RN	256350-09-1	REGISTRY
288	RN	256350-08-0	REGISTRY
289	RN	. 256350-07-9	REGISTRY
290	RN	256350-06-8	REGISTRY
291	RN	256350-05-7	REGISTRY
292	RN	256350-04-6	REGISTRY
293	RN	256350-03-5	REGISTRY
294	RN	256350-02-4	REGISTRY
295	RN		REGISTRY
296	RN	256350-00-2	REGISTRY
297	RN	256349-99-2	REGISTRY
298	RN	256349-98-1	REGISTRY
299	RN	256349-97-0	REGISTRY
300	RN	256349-96-9	REGISTRY
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302	RN	256349-94-7	REGISTRY
303	RN	256349-93-6	REGISTRY
304	RN	256349-92-5	REGISTRY
305	RN	256349-91-4	REGISTRY
306	RN	256349-90-3	REGISTRY
307	RN	256349 - 89-0	REGISTRY
308	RN	256349-88-9	REGISTRY
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RN

ANSWER 1 OF 308 REGISTRY COPYRIGHT 2003 ACS 474433-10-8 REGISTRY Vancomycin, 6'-deoxy-N3''-[[4-[(3,4-dichlorophenyl)methoxy]phenyl]methyl]-6'-(dimethylamino)- (9CI) (CA INDEX NAME) CN

STEREOSEARCH FS

MF C82 H90 C14 N10 O24

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

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Cl

PAGE 2-B

Lukton 09_776466

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 137:345587

L11 ANSWER 12 OF 308 REGISTRY COPYRIGHT 2003 ACS

RN 474432-99-0 REGISTRY

CN Vancomycin, 6'-deoxy-6'-[[2-(dimethylamino)ethyl]dimethylammonio]-, inner
 salt (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C72 H89 C12 N11 O23

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PAGE 1-A

- 1 REFERENCES IN FILE CA (1957 TO DATE) 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 137:345587

L11 ANSWER 15 OF 308 REGISTRY COPYRIGHT 2003 ACS

RN 370569-10-1 REGISTRY

CN Vancomycin, 6'-amino-26-decarboxy-6'-deoxy-26-[[[5-[[[4-[(3,4-dichlorophenyl)methoxy]phenyl]methyl]amino]pentyl]amino]carbonyl]- (9CI)
 (CA INDEX NAME)

FS STEREOSEARCH

MF C85 H98 C14 N12 O23

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PAGE 1-B

NHMe

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 135:331682

L11 ANSWER 25 OF 308 REGISTRY COPYRIGHT 2003 ACS

RN 370568-99-3 REGISTRY

CN Vancomycin, 6'-deoxy-N3'',56-bis[(9H-fluoren-9-ylmethoxy)carbonyl]-6'-iodo-(9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C96 H94 C12 I N9 O27

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 135:331682

L11 ANSWER 30 OF 308 REGISTRY COPYRIGHT 2003 ACS

RN 370568-94-8 REGISTRY

CN Vancomycin, 6'-deoxy-N3''-[[4-[(3,4-dichlorophenyl)methoxy]phenyl]methyl]-56-[(9H-fluoren-9-ylmethoxy)carbonyl]-6'-iodo-(9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C95 H94 Cl4 I N9 O26

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PAGE 2-A .

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PAGE 2-C

PAGE 3-A

Cl

PAGE 3-B

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 135:331682

ANSWER 55 OF 308 REGISTRY COPYRIGHT 2003 ACS 370564-33-3 REGISTRY L11

RN

Vancomycin, 26-[[(4-aminobutyl)amino]carbonyl]-6'-azido-N3'',56-bis[(4'-CN chloro[1,1'-biphenyl]-4-yl)methyl]-26-decarboxy-6'-deoxy- (9CI) (CA INDEX NAME)

STEREOSEARCH FS

MF C96 H102 Cl4 N14 O22

SR CA

LCCA, CAPLUS, USPATFULL STN Files:

Absolute stereochemistry.

PAGE 1-A

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PAGE 2-B

1 REFERENCES IN FILE CA (1957 TO DATE)
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 135:331681

L11 ANSWER 64 OF 308 REGISTRY COPYRIGHT 2003 ACS

RN 322012-74-8 REGISTRY

CN Vancomycin, 6'-[[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]amino]-49-de[4-methyl-2-(methylamino)-1-oxopentyl]-6'-deoxy- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C72 H72 C13 N9 O22

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PAGE 1-A

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1957 TO DATE)

2 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 138:180210

REFERENCE 134:144404

ANSWER 65 OF 308 REGISTRY COPYRIGHT 2003 ACS 308797-98-0 REGISTRY L11

RN

CN Vancomycin, 6'-deoxy-N3''-[[4-[(3,4-dichlorophenyl)methoxy]phenyl]methyl]-

6'-[(2-hydroxynaphthalenyl)amino]- (9CI) (CA INDEX NAME)

MF C90 H92 C14 N10 O25 IDS

CI SR CA

CA, CAPLUS, USPATFULL LC STN Files:

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1 REFERENCES IN FILE CA (1957 TO DATE)
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 134:5162

L11 ANSWER 117 OF 308 REGISTRY COPYRIGHT 2003 ACS

RN 308366-99-6 REGISTRY

CN Vancomycin, 6'-deoxy-N3''-[[4-[(3,4-dichlorophenyl)methoxy]phenyl]methyl]-6'-[3-(dimethylamino)-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C86 H97 C14 N11 O24

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 134:5162

L11 ANSWER 172 OF 308 REGISTRY COPYRIGHT 2003 ACS

RN 300583-15-7 REGISTRY

CN Vancomycin, 6'-amino-49-[(2R)-2-amino-3-(1,1-dimethylethoxy)-1-oxopropyl}-

N3''-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-49-de[4-methyl-2-(methylamino)-1-oxopentyl]-6'-deoxy- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C79 H85 C13 N10 O24

SR CA .

LC STN Files: CA, CAPLUS, USPATFULL

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 133:296658

L11 ANSWER 173 OF 308 REGISTRY COPYRIGHT 2003 ACS

RN 256351-49-2 REGISTRY

CN Vancomycin, N3''-decyl-6'-deoxy-6'-iodo- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C76 H94 C12 I N9 O23

SR CA

LC STN Files: CA, CAPLUS, CASREACT

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 132:122934

L11 ANSWER 199 OF 308 REGISTRY COPYRIGHT 2003 ACS

RN 256350-99-9 REGISTRY

CN Vancomycin, 26-decarboxy-6'-deoxy-26-[[(2-methoxy-2-oxoethyl)amino]carbonyl]-6'-[[[(phenylmethoxy)carbonyl]amino][(phenylmethoxy)carbonyl]imino]methyl]amino]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C86 H95 C12 N13 O28

SR CA

LC STN Files: CA, CAPLUS, CASREACT

PAGE 1-A

PAGE 1-B

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1957 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 132:122934

- L11 ANSWER 297 OF 308 REGISTRY COPYRIGHT 2003 ACS
- RN 256349-99-2 REGISTRY
- CN Vancomycin, 6'-deoxy-N3'',56-bis[(2-propenyloxy)carbonyl]-6'-[(2-quinoxalinylcarbonyl)amino]-, 2-propenyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C86 H92 C12 N12 O28

SR CA

LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.

PAGE 1-A

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 132:122934

L11 ANSWER 308 OF 308 REGISTRY COPYRIGHT 2003 ACS

RN 256349-88-9 REGISTRY

CN Vancomycin, N3'',56-bis[(2-propenyloxy)carbonyl]-, 2-propenyl ester, 6'-(2,4,6-trimethylbenzenesulfonate) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C86 H97 C12 N9 O30 S

SR CA

LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry.

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1957 TO DATE)

3 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 135:331681

REFERENCE 2: 134:5162

REFERENCE 3: 132:122934

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L11 ANSWER 1 OF 308 REGISTRY COPYRIGHT 2003 ACS

RN 474433-10-8 REGISTRY

CN Vancomycin, 6'-deoxy-N3''-[[4-[(3,4-dichlorophenyl)methoxy]phenyl]methyl]-6'-(dimethylamino)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C82 H90 C14 N10 O24

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 137:345587

L11 ANSWER 12 OF 308 REGISTRY COPYRIGHT 2003 ACS

RN 474432-99-0 REGISTRY

CN Vancomycin, 6'-deoxy-6'-[[2-(dimethylamino)ethyl]dimethylammonio]-, inner salt (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C72 H89 C12 N11 O23

SR CA

LC STN Files: CA, CAPLUS

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1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 137:345587

L11 ANSWER 15 OF 308 REGISTRY COPYRIGHT 2003 ACS

RN 370569-10-1 REGISTRY

FS STEREOSEARCH

MF C85 H98 C14 N12 O23

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1957 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

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REFERENCE 1: 135:331682

L11 ANSWER 25 OF 308 REGISTRY COPYRIGHT 2003 ACS RN 370568-99-3 REGISTRY

CN Vancomycin, 6'-deoxy-N3'', 56-bis[(9H-fluoren-9-ylmethoxy)carbonyl]-6'-iodo-(9CI) (CA INDEX NAME)

FS STEREOSEARCH

MFC96 H94 C12 I N9 O27

SR

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 135:331682

L11 ANSWER 30 OF 308 REGISTRY COPYRIGHT 2003 ACS

RN 370568-94-8 REGISTRY

CN Vancomycin, 6'-deoxy-N3''-[[4-[(3,4-dichlorophenyl)methoxy]phenyl]methyl]-56-[(9H-fluoren-9-ylmethoxy)carbonyl]-6'-iodo- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C95 H94 Cl4 I N9 O26

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

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Cl

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1 REFERENCES IN FILE CA (1957 TO DATE)
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 135:331682

L11 ANSWER 55 OF 308 REGISTRY COPYRIGHT 2003 ACS

RN 370564-33-3 REGISTRY

Vancomycin, 26-[[(4-aminobutyl)amino]carbonyl]-6'-azido-N3'',56-bis[(4'chloro[1,1'-biphenyl]-4-yl)methyl]-26-decarboxy-6'-deoxy- (9CI) (CA INDEX
NAME)

FS STEREOSEARCH

MF C96 H102 C14 N14 O22

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

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1 REFERENCES IN FILE CA (1957 TO DATE)
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 135:331681

L11 ANSWER 64 OF 308 REGISTRY COPYRIGHT 2003 ACS

RN 322012-74-8 REGISTRY

CN Vancomycin, 6'-[[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]amino]-49-de[4-methyl-2-(methylamino)-1-oxopentyl]-6'-deoxy- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C72 H72 C13 N9 O22

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1957 TO DATE)

2 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 138:180210

REFERENCE 2: 134:144404

L11 ANSWER 65 OF 308 REGISTRY COPYRIGHT 2003 ACS

RN 308797-98-0 REGISTRY

CN Vancomycin, 6'-deoxy-N3''-[[4-[(3,4-dichlorophenyl)methoxy]phenyl]methyl]-

6'-[(2-hydroxynaphthalenyl)amino]- (9CI) (CA INDEX NAME)

MF C90 H92 C14 N10 O25

IDS CA STN Files: CI SR LC CA, CAPLUS, USPATFULL

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 $C1$
 $C1$
 CH_2-NH
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 Me

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1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 134:5162

L11 ANSWER 117 OF 308 REGISTRY COPYRIGHT 2003 ACS

RN 308366-99-6 REGISTRY

CN Vancomycin, 6'-deoxy-N3''-[[4-[(3,4-dichlorophenyl)methoxy]phenyl]methyl]-6'-[3-(dimethylamino)-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C86 H97 C14 N11 O24

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 134:5162

L11 ANSWER 172 OF 308 REGISTRY COPYRIGHT 2003 ACS

RN 300'583-15-7 REGISTRY

CN Vancomycin, 6'-amino-49-[(2R)-2-amino-3-(1,1-dimethylethoxy)-1-oxopropyl]-

N3''-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-49-de[4-methyl-2-(methylamino)-1-oxopentyl]-6'-deoxy- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C79 H85 C13 N10 O24

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

. 1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 133:296658

L11 ANSWER 173 OF 308 REGISTRY COPYRIGHT 2003 ACS

RN 256351-49-2 REGISTRY

CN Vancomycin, N3''-decyl-6'-deoxy-6'-iodo- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C76 H94 Cl2 I N9 O23

SR CA

LC STN Files: CA, CAPLUS, CASREACT

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 132:122934

L11 ANSWER 199 OF 308 REGISTRY COPYRIGHT 2003 ACS

RN 256350-99-9 REGISTRY

CN Vancomycin, 26-decarboxy-6'-deoxy-26-[[(2-methoxy-2-

oxoethyl)amino]carbonyl]-6'-[[[[(phenylmethoxy)carbonyl]amino][[(phenylmet

hoxy)carbonyl]imino]methyl]amino]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C86 H95 C12 N13 O28

SR CA

LC STN Files: CA, CAPLUS, CASREACT

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1957 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 132:122934

- L11 ANSWER 297 OF 308 REGISTRY COPYRIGHT 2003 ACS.
- RN 256349-99-2 REGISTRY
- CN Vancomycin, 6'-deoxy-N3'',56-bis[(2-propenyloxy)carbonyl]-6'-[(2-quinoxalinylcarbonyl)amino]-, 2-propenyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C86 H92 C12 N12 O28

SR CA

LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE) 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 132:122934

L11 ANSWER 308 OF 308 REGISTRY COPYRIGHT 2003 ACS

RN 256349-88-9 REGISTRY

CN Vancomycin, N3'', 56-bis[(2-propenyloxy)carbonyl]-, 2-propenyl ester, 6'-(2,4,6-trimethylbenzenesulfonate) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C86 H97 C12 N9 O30 S

SR CA

LC STN Files: CA, CAPLUS, CASREACT, USPATFULL.

Absolute stereochemistry.

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1957 TO DATE)
3 REFERENCES IN FILE CAPLUS (1957 TO DATE)

1: 135:331681 REFERENCE

REFERENCE 134:5162

3: 132:122934 REFERENCE